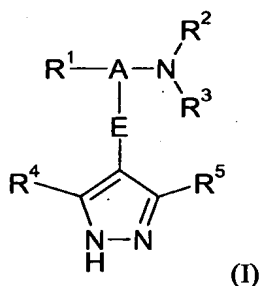


CLAIMS

1. A compound of the formula (I):



or a salt, solvate, tautomer or N-oxide thereof;

- 5 wherein A is a saturated hydrocarbon linker group containing from 1 to 7 carbon atoms, the linker group having a maximum chain length of 5 atoms extending between R^1 and NR^2R^3 and a maximum chain length of 4 atoms extending between E and NR^2R^3 , wherein one of the carbon atoms in the linker group may optionally be replaced by an oxygen or nitrogen atom; and wherein the carbon
- 10 atoms of the linker group A may optionally bear one or more substituents selected from oxo, fluorine and hydroxy, provided that the hydroxy group when present is not located at a carbon atom α with respect to the NR^2R^3 group and provided that the oxo group when present is located at a carbon atom α with respect to the NR^2R^3 group;

- 15 E is a monocyclic or bicyclic carbocyclic or heterocyclic group wherein E is unsubstituted or has up to 4 substituents R^8 selected from hydroxy, oxo (when E is non-aromatic), chlorine, bromine, trifluoromethyl, cyano, C_{1-4} hydrocarbyloxy and C_{1-4} hydrocarbyl optionally substituted by C_{1-2} alkoxy or hydroxyl;

- 20 R^1 is an aryl or heteroaryl group which is unsubstituted or bears one or more substituents selected from hydroxy; C_{1-4} acyloxy; fluorine; chlorine; bromine; trifluoromethyl; cyano; $CONH_2$; nitro; C_{1-4} hydrocarbyloxy and C_{1-4} hydrocarbyl each optionally substituted by C_{1-2} alkoxy, carboxy or hydroxy; C_{1-4}

acylamino; benzoylamino; pyrrolidinocarbonyl; piperidinocarbonyl; morpholinocarbonyl; piperazinocarbonyl; five and six membered heteroaryl and heteroaryloxy groups containing one or two heteroatoms selected from N, O and S; phenyl; phenyl- C_{1-4} alkyl; phenyl- C_{1-4} alkoxy; heteroaryl- C_{1-4} alkyl; heteroaryl- C_{1-4} alkoxy and phenoxy, wherein the heteroaryl, heteroaryloxy, phenyl, phenyl- C_{1-4} alkyl, phenyl- C_{1-4} alkoxy, heteroaryl- C_{1-4} alkyl, heteroaryl- C_{1-4} alkoxy and phenoxy groups are each optionally substituted with 1, 2 or 3 substituents selected from C_{1-2} acyloxy, fluorine, chlorine, bromine, trifluoromethyl, cyano, $CONH_2$, C_{1-2} hydrocarbyloxy and C_{1-2} hydrocarbyl each optionally substituted by methoxy or hydroxyl;

R^2 and R^3 are independently selected from hydrogen, C_{1-4} hydrocarbyl and C_{1-4} acyl wherein the hydrocarbyl and acyl moieties are optionally substituted by one or more substituents selected from fluorine, hydroxy, amino, methylamino, dimethylamino and methoxy;

or R^2 and R^3 together with the nitrogen atom to which they are attached form a cyclic group selected from an imidazole group and a saturated monocyclic heterocyclic group having 4-7 ring members and optionally containing a second heteroatom ring member selected from O and N;

or one of R^2 and R^3 together with the nitrogen atom to which they are attached and one or more atoms from the linker group A form a saturated monocyclic heterocyclic group having 4-7 ring members and optionally containing a second heteroatom ring member selected from O and N;

or NR^2R^3 and the carbon atom of linker group A to which it is attached together form a cyano group;

R^4 is selected from hydrogen, halogen, C_{1-5} saturated hydrocarbyl, C_{1-5} saturated hydrocarbyloxy, cyano, and CF_3 ; and

R^5 is selected from hydrogen, halogen, C_{1-5} saturated hydrocarbyl, C_{1-5} saturated hydrocarbyloxy, cyano, $CONH_2$, $CONHR^9$, CF_3 , NH_2 , $NHCOR^9$ or $NHCONHR^9$;

R^9 is a group R^{9a} or $(CH_2)R^{9a}$, wherein R^{9a} is a monocyclic or bicyclic group which may be carbocyclic or heterocyclic;

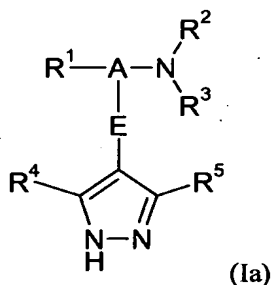
the carbocyclic group or heterocyclic group R^{9a} being optionally substituted by one or more substituents selected from halogen, hydroxy, trifluoromethyl, cyano, nitro, carboxy, amino, mono- or di- C_{1-4} hydrocarbylamino; a group R^a-R^b wherein R^a is a bond, O, CO, $X^1C(X^2)$, $C(X^2)X^1$, $X^1C(X^2)X^1$, S, SO, SO_2 , NR^c , SO_2NR^c or NR^cSO_2 ; and R^b is selected from hydrogen, heterocyclic groups having from 3 to 12 ring members, and a C_{1-8} hydrocarbyl group optionally substituted by one or more substituents selected from hydroxy, oxo, halogen, cyano, nitro, carboxy, amino, mono- or di- C_{1-4} hydrocarbylamino, carbocyclic and heterocyclic groups having from 3 to 12 ring members and wherein one or more carbon atoms of the C_{1-8} hydrocarbyl group may optionally be replaced by O, S, SO, SO_2 , NR^c , $X^1C(X^2)$, $C(X^2)X^1$ or $X^1C(X^2)X^1$;

R^c is selected from hydrogen and C_{1-4} hydrocarbyl; and

X^1 is O, S or NR^c and X^2 is =O, =S or = NR^c ;

but excluding the compound (2R, 5S)-1-benzyl-4-(R)-1-(3-[1-(tert-butyl)-1,1-dimethylsilyl]oxyphenyl)-1-[4-(1H-pyrazol-4-yl)phenyl]methyl-2,5-dimethylhexahydropyrazine.

2. A compound according to claim 1 of the formula (Ia):



or a salt, solvate, tautomer or N-oxide thereof;

wherein A is a saturated hydrocarbon linker group containing from 1 to 7 carbon atoms, the linker group having a maximum chain length of 5 atoms extending between R^1 and NR^2R^3 and a maximum chain length of 4 atoms extending between E and NR^2R^3 , wherein one of the carbon atoms in the linker group may optionally be replaced by an oxygen or nitrogen atom; and wherein the carbon atoms of the linker group A may optionally bear one or more substituents selected from oxo, fluorine and hydroxy, provided that the hydroxy group when present is not located at a carbon atom α with respect to the NR^2R^3 group and provided that the oxo group when present is located at a carbon atom α with respect to the NR^2R^3 group;

E is a monocyclic or bicyclic carbocyclic or heterocyclic group wherein E is unsubstituted or has up to 4 substituents R^8 as defined in claim 1;

R^1 is an aryl or heteroaryl group which is unsubstituted or substituted as defined in claim 1

R^2 and R^3 are independently selected from hydrogen, C_{1-4} hydrocarbyl and C_{1-4} acyl;

or R^2 and R^3 together with the nitrogen atom to which they are attached form a saturated monocyclic heterocyclic group having 4-7 ring members and optionally containing a second heteroatom ring member selected from O and N;

or one of R^2 and R^3 together with the nitrogen atom to which they are attached and one or more atoms from the linker group A form a saturated monocyclic heterocyclic group having 4-7 ring members and optionally containing a second heteroatom ring member selected from O and N;

or NR^2R^3 and the carbon atom of linker group A to which it is attached together form a cyano group;

R^4 is selected from hydrogen, halogen, C_{1-5} saturated hydrocarbyl, cyano and CF_3 ; and

R^5 is selected from hydrogen, halogen, C_{1-5} saturated hydrocarbyl, cyano, $CONH_2$, $CONHR^9$, CF_3 , NH_2 , $NHCOR^9$ or $NHCONHR^9$;

R^9 is phenyl or benzyl each optionally substituted by one or more substituents selected from halogen, hydroxy, trifluoromethyl, cyano, nitro, carboxy, amino, mono- or di- C_{1-4} hydrocarbylamino; a group R^a-R^b wherein R^a is a bond, O, CO, $X^1C(X^2)$, $C(X^2)X^1$, $X^1C(X^2)X^1$, S, SO, SO_2 , NR^c , SO_2NR^c or NR^cSO_2 ; and R^b is selected from hydrogen, heterocyclic groups having from 3 to 12 ring members, and a C_{1-8} hydrocarbyl group optionally substituted by one or more substituents selected from hydroxy, oxo, halogen, cyano, nitro, carboxy, amino, mono- or di- C_{1-4} hydrocarbylamino, carbocyclic and heterocyclic groups having from 3 to 12 ring members and wherein one or more carbon atoms of the C_{1-8} hydrocarbyl group may optionally be replaced by O, S, SO, SO_2 , NR^c , $X^1C(X^2)$, $C(X^2)X^1$ or $X^1C(X^2)X^1$;

R^c is selected from hydrogen and C_{1-4} hydrocarbyl; and

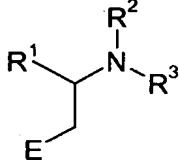
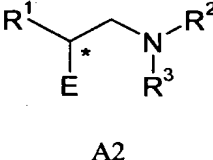
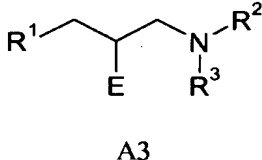
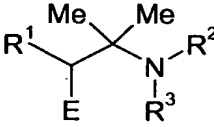
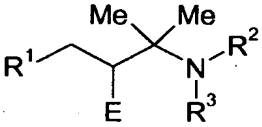
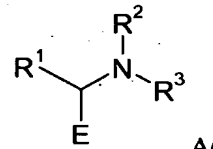
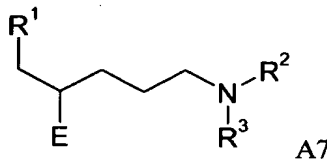
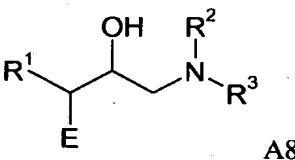
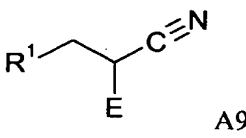
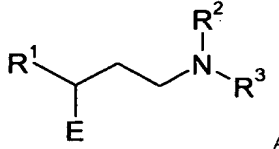
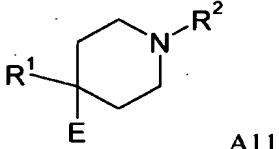
X^1 is O, S or NR^c and X^2 is =O, =S or = NR^c ;

but excluding the compound (2R, 5S)-1-benzyl-4-(R)-1-(3-[1-(tert-butyl)-1,1-dimethylsilyl]oxyphenyl)-1-[4-(1H-pyrazol-4-yl)phenyl]methyl-2,5-dimethylhexahydropyrazine.

3. A compound according to claim 1 or claim 2 wherein A is a saturated hydrocarbon linker group containing from 1 to 7 carbon atoms, the linker group having a maximum chain length of 5 atoms extending between R^1 and NR^2R^3 and a maximum chain length of 4 atoms extending between E and NR^2R^3 , wherein one of the carbon atoms in the linker group may optionally be replaced by an oxygen or nitrogen atom; and wherein the carbon atoms of the linker group A may optionally bear one or more substituents selected from fluorine and hydroxy, provided that the hydroxy group when present is not located at a carbon atom α with respect to the NR^2R^3 group; and R^5 is selected from hydrogen, halogen, C_{1-5} saturated hydrocarbyl, cyano, $CONH_2$, CF_3 , NH_2 , $NHCOR^9$ and $NHCONHR^9$.
4. A compound according to any one of claims 1 to 3 wherein the linker group A has a maximum chain length of 3 atoms extending between R^1 and NR^2R^3 .

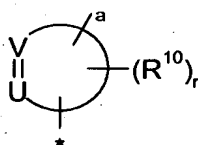
5. A compound according to claim 4 wherein the linker group A has a maximum chain length of 2 atoms extending between R^1 and NR^2R^3 .
6. A compound according to any one of claims 1 to 5 wherein the linker group A has a maximum chain length of 3 atoms extending between E and NR^2R^3 .
- 5 7. A compound according to claim 6 wherein the linker group A has a chain length of 2 or 3 atoms extending between R^1 and NR^2R^3 and a chain length of 2 or 3 atoms extending between E and NR^2R^3 .
8. A compound according to any one of the preceding claims wherein the linker group atom linked directly to the group E is a carbon atom and the linker group A
10 has an all-carbon skeleton.
9. A compound according to any one of claims 1 to 7 wherein the portion R^1 -A- NR^2R^3 of the compound is represented by the formula R^1 -(G)_k-(CH₂)_m-W-O_b-(CH₂)_n-(CR⁶R⁷)_p-NR²R³ wherein G is NH, NMe or O; W is attached to the group E and is selected from (CH₂)_j-CR²⁰, (CH₂)_j-N and (NH)_j-CH; b is 0 or 1, j is 0 or 1, k is 0 or 1, m is 0 or 1, n is 0, 1, 2, or 3 and p is 0 or 1; the sum of b and k is 0
15 or 1; the sum of j, k, m, n and p does not exceed 4; R⁶ and R⁷ are the same or different and are selected from methyl and ethyl, or CR⁶R⁷ forms a cyclopropyl group; and R²⁰ is selected from hydrogen, methyl, hydroxy and fluorine.
10. A compound according to any one of claims 1 to 7 wherein the moiety R^1 -A- NR^2R^3 is represented by the formula R^1 -(G)_k-(CH₂)_m-X-(CH₂)_n-(CR⁶R⁷)_p-NR²R³ wherein G is NH, NMe or O; X is attached to the group E and is selected from (CH₂)_j-CH, (CH₂)_j-N and (NH)_j-CH; j is 0 or 1, k is 0 or 1, m is 0 or 1, n is 0, 1, 2,
20 or 3 and p is 0 or 1, and the sum of j, k, m, n and p does not exceed 4; and R⁶ and R⁷ are the same or different and are selected from methyl and ethyl, or CR⁶R⁷ forms a cyclopropyl group.
25
11. A compound according to claim 10 wherein (i) k is 0, m is 0 or 1, n is 0, 1, 2 or 3 and p is 0; or (ii) k is 0, m is 0 or 1, n is 0, 1 or 2 and p is 1.

12. A compound according to claim 10 wherein (i) X is $(\text{CH}_2)_j\text{-CH}$, k is 1, m is 0, n is 0, 1, 2 or 3 and p is 0; or (ii) X is $(\text{CH}_2)_j\text{-CH}$, k is 1, m is 0, n is 0, 1 or 2 and p is 1.
13. A compound according to claim 10 or claim 12 wherein (i) j is 0; or (ii) j is 1; or (iii) CR^6R^7 is $\text{C}(\text{CH}_3)_2$.
- 5 14. A compound according to claim 10 wherein the portion $\text{R}^1\text{-A-NR}^2\text{R}^3$ of the compound is represented by the formula $\text{R}^1\text{-X-(CH}_2)_n\text{-NR}^2\text{R}^3$ where X is attached to the group E and is a group CH, and n is 2.
15. A compound according to claim 1 or claim 2 wherein $\text{R}^1\text{-A(E)-NR}^2\text{R}^3$ is selected from the groups A1 to A11 below:

 <p>A1</p>	 <p>A2</p>	 <p>A3</p>
 <p>A4</p>	 <p>A5</p>	 <p>A6</p>
 <p>A7</p>	 <p>A8</p>	 <p>A9</p>
 <p>A10</p>	 <p>A11</p>	

16. A compound according to any one of the preceding claims wherein E is a monocyclic group.
17. A compound according to any one of the preceding claims wherein E is an aryl or heteroaryl group each of which is unsubstituted or substituted by up to 4
5 substituents R^8 as defined in claim 1.
18. A compound according to claim 17 selected from optionally substituted phenyl, thiophene, furan, pyrimidine and pyridine groups, each of which is unsubstituted or substituted by up to 4 substituents R^8 as defined in claim 1.
19. A compound according to claim 18 wherein E is a phenyl group which is
10 unsubstituted or substituted by up to 4 substituents R^8 as defined in claim 1.
20. A compound according to any one of the preceding claims wherein the group A and the pyrazole group are attached to the group E in a *meta* or *para* relative orientation; i.e. A and the pyrazole group are not attached to adjacent ring members of the group E.
- 15 21. A compound according to claim 20 wherein E is selected from 1,4-phenylene, 1,3-phenylene, 2,5-pyridylene and 2,4-pyridylene, 1,4-piperaziny, and 1,4-piperazonyl, each of which is unsubstituted or substituted by up to 4 substituents R^8 as defined in claim 1.
22. A compound according to any one of the preceding claims wherein E has 0-3
20 substituents.
23. A compound according to claim 22 wherein E has 0-2 substituents
24. A compound according to claim 23 wherein E has 0 or 1 substituent.
25. A compound according to claim 24 wherein E is unsubstituted.

26. A compound according to any one of the preceding claims wherein the group E is an aryl or heteroaryl group having five or six members and containing up to three heteroatoms selected from O, N and S, the group E being represented by the formula:



5

where * denotes the point of attachment to the pyrazole group, and "a" denotes the attachment of the group A;

r is 0, 1 or 2;

U is selected from N and CR^{12a}; and

- 10 V is selected from N and CR^{12b}; where R^{12a} and R^{12b} are the same or different and each is hydrogen or a substituent containing up to ten atoms selected from C, N, O, F, Cl and S provided that the total number of non-hydrogen atoms present in R^{12a} and R^{12b} together does not exceed ten;

or R^{12a} and R^{12b} together with the carbon atoms to which they are attached form an unsubstituted five or six membered saturated or unsaturated ring containing up to two heteroatoms selected from O and N; and

15

R¹⁰ is selected from halogen, hydroxy, trifluoromethyl, cyano, nitro, carboxy, amino, mono- or di-C₁₋₄ hydrocarbylamino, carbocyclic and heterocyclic groups having from 3 to 12 ring members; a group R^a-R^b wherein R^a is a bond, O, CO, X¹C(X²), C(X²)X¹, X¹C(X²)X¹, S, SO, SO₂, NR^c, SO₂NR^c or NR^cSO₂; and R^b is selected from hydrogen, carbocyclic and heterocyclic groups having from 3 to 12 ring members, and a C₁₋₈ hydrocarbyl group optionally substituted by one or more substituents selected from hydroxy, oxo, halogen, cyano, nitro, carboxy, amino, mono- or di-C₁₋₄ hydrocarbylamino, carbocyclic and heterocyclic groups having

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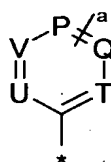
from 3 to 12 ring members and wherein one or more carbon atoms of the C₁₋₈

hydrocarbyl group may optionally be replaced by O, S, SO, SO₂, NR^c, X¹C(X²), C(X²)X¹ or X¹C(X²)X¹;

R^c is selected from hydrogen and C₁₋₄ hydrocarbyl; and

X¹ is O, S or NR^c and X² is =O, =S or =NR^c.

- 5 27. A compound according to claim 26 wherein E is represented by the formula:

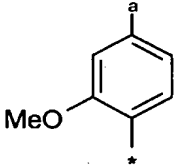
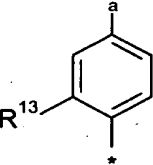
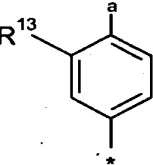
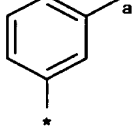
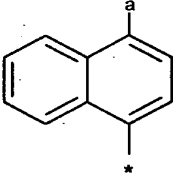


where P, Q and T are the same or different and are selected from N, CH and NCR¹⁰, provided that the group A is attached to a carbon atom.

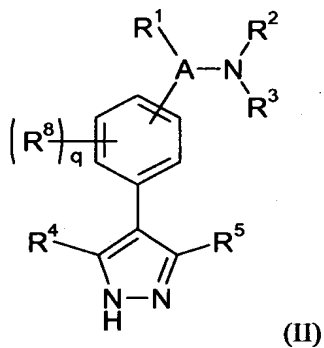
28. A compound according to claim 27 wherein the group E is selected from groups B1 to B13 in the Table below:

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<p>B1</p>	<p>B2</p>	<p>B3</p>	<p>B4</p>
<p>B5</p>	<p>B6</p>	<p>B7</p>	<p>B8</p>

 <p style="text-align: right;">B9</p>	 <p style="text-align: right;">B10</p>	 <p style="text-align: right;">B11</p>	 <p style="text-align: right;">B12</p>
 <p style="text-align: right;">B13</p>			

29. A compound according to claim 19 having the formula (II):

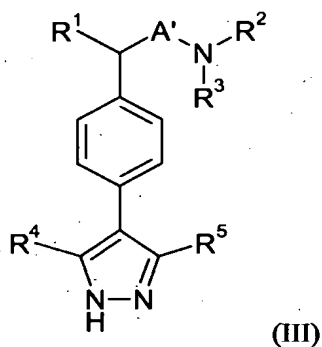


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wherein the group A is attached to the *meta* or *para* position of the benzene ring and q is 0-4; R⁸ is hydroxy; halogen; trifluoromethyl; cyano; C₁₋₄ hydrocarbyloxy optionally substituted by C₁₋₂ alkoxy or hydroxy; and C₁₋₄ hydrocarbyl optionally substituted by C₁₋₂ alkoxy or hydroxy.

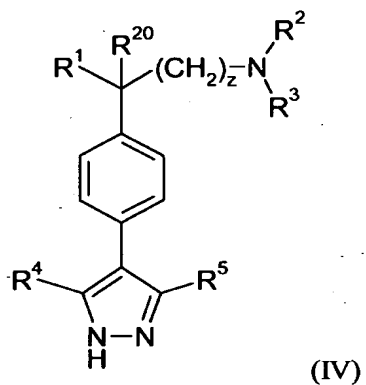
30. A compound according to claim 29 wherein q is 0, 1 or 2
31. A compound according to claim 30 wherein q is 0 or 1.

32. A compound according to claim 31 wherein q is 0.
33. A compound according to claim 19 having the formula (III):



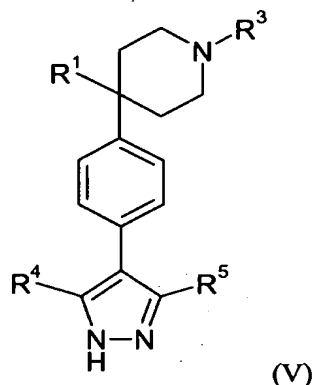
5 where A' is the residue of the group A and R¹ to R⁵ are as defined in any one of the preceding claims.

34. A compound according to claim 19 having the formula (IV):



wherein z is 0, 1 or 2, R²⁰ is selected from hydrogen, methyl, hydroxy and fluorine, provided that when z is 0, R²⁰ is other than hydroxy.

- 10 35. A compound according to claim 19 having the formula (V):



wherein R^3 is optionally selected from hydrogen and C_{1-4} hydrocarbyl.

36. A compound according to claim 35 wherein R^3 is selected from hydrogen and C_{1-4} hydrocarbyl.

- 5 37. A compound according to claim 29 wherein R^1 is phenyl.

38. A compound according to any one of the preceding claims wherein R^1 is selected from phenyl, naphthyl, thienyl, furan, pyrimidine and pyridine, each optionally substituted as defined in claim 1.

- 10 39. A compound according to any one of the preceding claims wherein R^1 is unsubstituted or is substituted by up to 5 substituents selected from hydroxy; C_{1-4} acyloxy; fluorine; chlorine; bromine; trifluoromethyl; cyano; C_{1-4} hydrocarbyloxy and C_{1-4} hydrocarbyl optionally substituted by C_{1-2} alkoxy or hydroxy; and five membered heteroaryl groups containing one or two heteroatoms selected from N, O and S, the heteroaryl groups being optionally substituted by one or more C_{1-4} alkyl substituents.

- 15 40. A compound according to claim 23 wherein R^1 is unsubstituted or is substituted by up to 5 substituents selected from hydroxy, C_{1-4} acyloxy, fluorine, chlorine, bromine, trifluoromethyl, cyano, C_{1-4} hydrocarbyloxy and C_{1-4} hydrocarbyl optionally substituted by C_{1-2} alkoxy or hydroxy.

41. A compound according to claim 23 or 24 wherein the group R^1 has one or two substituents selected from fluorine, chlorine, trifluoromethyl, methyl and methoxy.
- 5 42. A compound according to claim 25 wherein R^1 is a mono-chlorophenyl or dichlorophenyl group.
43. A compound according to any one of the preceding claims wherein R^4 is selected from hydrogen and methyl.
- 10 44. A compound according to any one of the preceding claims wherein R^5 is selected from hydrogen, fluorine, chlorine, bromine, methyl, ethyl, hydroxyethyl, methoxymethyl, cyano, CF_3 , NH_2 , $NHCOR^{9b}$ and $NHCONHR^{9b}$ where R^{9b} is phenyl or benzyl optionally substituted by hydroxy, C_{1-4} acyloxy, fluorine, chlorine, bromine, trifluoromethyl, cyano, C_{1-4} hydrocarbyloxy and C_{1-4} hydrocarbyl optionally substituted by C_{1-2} alkoxy or hydroxy.
- 15 45. A compound according to any one of the preceding claims wherein R^2 and R^3 are independently selected from hydrogen, C_{1-4} hydrocarbyl and C_{1-4} acyl
46. A compound according to claim 28 wherein R^2 and R^3 are independently selected from hydrogen and methyl.
47. A compound according to claim 29 wherein R^2 and R^3 are both hydrogen.
- 20 48. A compound according to any one of the preceding claims having a molecular weight of less than 525.
49. A compound according to claim 1 of the formula (I) which is:
 - 2-phenyl-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamine;
 - 3-phenyl-2-[3-(1H-pyrazol-4-yl)-phenyl]-propionitrile;
 - 2-[4-(3,5-dimethyl-1H-pyrazol-4-yl)-phenyl]-2-phenyl-ethylamine;
 - 25 2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamine;
 - 2-[3-(3,5-dimethyl-1H-pyrazol-4-yl)-phenyl]-1-phenyl-ethylamine;

- 3-phenyl-2-[3-(1H-pyrazol-4-yl)-phenyl]-propylamine;
 3-phenyl-2-[4-(1H-pyrazol-4-yl)-phenyl]-propylamine;
 {3-(4-chloro-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propyl}-methyl-amine;
 {3-(3,4-difluoro-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propyl}-methyl-amine;
 5 {3-(3-chloro-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propyl}-methyl-amine;
 3-(4-chloro-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propionamide;
 3-(4-chloro-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propylamine;
 3-(3,4-dichloro-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propylamine;
 4-(4-chloro-phenyl)-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;
 10 4-(4-methoxy-phenyl)-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;
 4-(4-chloro-phenyl)-1-methyl-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;
 4-phenyl-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;
 4-[4-(3,5-dimethyl-1H-pyrazol-4-yl)-phenyl]-4-phenyl-piperidine;
 dimethyl-{3-[4-(1H-pyrazol-4-yl)-phenyl]-3-pyridin-2-yl-propyl}-amine;
 15 {2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-dimethyl-amine;
 {2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-methyl-amine;
 {2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-methyl-amine (R);
 {2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-methyl-amine (S);
 4-{2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-morpholine;
 20 4-{4-[1-(4-chloro-phenyl)-2-pyrrolidin-1-yl-ethyl]-phenyl}-1H-pyrazole;
 {2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-isopropyl-amine;
 dimethyl-{2-phenyl-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-amine;
 {2,2-bis-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-dimethyl-amine;
 {2,2-bis-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-methyl-amine;
 25 2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamine (R);
 2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamine (S);
 2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-acetamide;
 1-{2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-piperazine;
 1-{2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-piperidine;
 30 4-{4-[2-azetidin-1-yl-1-(4-chloro-phenyl)-ethyl]-phenyl}-1H-pyrazole;

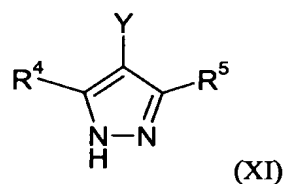
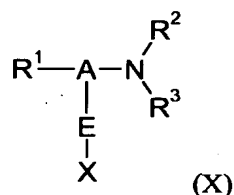
- 1-phenyl-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamine;
 2-(4-chloro-phenyl)-N-methyl-2-[4-(1H-pyrazol-4-yl)-phenyl]-acetamide;
 N-methyl-2,2-bis-[4-(1H-pyrazol-4-yl)-phenyl]-acetamide;
 {2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-methyl-amine;
 5 {2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-ethyl-amine;
 4-{4-[1-(4-chloro-phenyl)-2-imidazol-1-yl-ethyl]-phenyl}-1H-pyrazole;
 methyl-{2-(4-phenoxy-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-amine;
 {2-(4-methoxy-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-methyl-amine;
 methyl-{2-[4-(pyrazin-2-yloxy)-phenyl]-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-
 10 amine;
 methyl-{2-phenoxy-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-amine;
 2-[(4-chloro-phenyl)-[4-(1H-pyrazol-4-yl)-phenyl]-methoxy}-ethylamine;
 4-{4-[1-(4-chloro-phenyl)-3-pyrrolidin-1-yl-propyl]-phenyl}-1H-pyrazole;
 4-{4-[3-azetidin-1-yl-1-(4-chloro-phenyl)-propyl]-phenyl}-1H-pyrazole;
 15 methyl-{3-naphthalen-2-yl-3-[4-(1H-pyrazol-4-yl)-phenyl]-propyl}-amine;
 {3-(4-fluoro-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propyl}-methyl-amine;
 4-{4-[4-(4-chloro-phenyl)-piperidin-4-yl]-phenyl}-1H-pyrazole-3-carbonitrile;
 3-(4-phenoxy-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propylamine;
 1-[(4-chloro-phenyl)-[4-(1H-pyrazol-4-yl)-phenyl]-methyl]-piperazine;
 20 1-methyl-4-{phenyl-[4-(1H-pyrazol-4-yl)-phenyl]-methyl}-[1,4]diazepane;
 {3-(3-chloro-phenoxy)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propyl}-methyl-amine;
 methyl-{2-phenyl-2-[6-(1H-pyrazol-4-yl)-pyridin-3-yl]-ethyl}-amine;
 4-{4-[1-(4-chloro-phenyl)-3-imidazol-1-yl-propyl]-phenyl}-1H-pyrazole;
 4-[4-(3-imidazol-1-yl-1-phenoxy-propyl)-phenyl]-1H-pyrazole;
 25 4-{4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidin-4-yl}-phenol;
 1-[(4-chloro-phenyl)-[4-(1H-pyrazol-4-yl)-phenyl]-methyl]-piperazine;
 {2-(4-fluoro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-methyl-amine;
 {2-(3-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-methyl-amine;
 4-[4-(2-methoxy-ethoxy)-phenyl]-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;
 30 4-[4-(3-methoxy-propoxy)-phenyl]-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;

- 3-(3,4-dichloro-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propionamide;
 2-(4-{2-methylamino-1-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-phenoxy)-
 isonicotinamide;
 {2-(3-chloro-phenoxy)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-methyl-amine;
 5 3-{2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamino}-propan-1-ol;
 2-{2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamino}-ethanol;
 3-{2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamino}-propan-1-ol;
 2-{2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamino}-ethanol;
 {2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-cyclopropylmethyl-
 10 amine;
 methyl-[2-[4-(1H-pyrazol-4-yl)-phenyl]-2-(4-pyridin-3-yl-phenyl)-ethyl]-amine;
 4-{3-methylamino-1-[4-(1H-pyrazol-4-yl)-phenyl]-propyl}-phenol;
 3-(4-methoxy-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propylamine;
 4-(4-chloro-phenyl)-4-[4-(3-methyl-1H-pyrazol-4-yl)-phenyl]-piperidine;
 15 2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-morpholine;
 (4-{4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidin-4-yl}-phenoxy)-acetic acid;
 4-{4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidin-4-yl}-benzonitrile;
 {2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-propyl}-methyl-amine;
 1-(4-chloro-phenyl)-2-methylamino-1-[4-(1H-pyrazol-4-yl)-phenyl]-ethanol;
 20 2-amino-1-(4-chloro-phenyl)-1-[4-(1H-pyrazol-4-yl)-phenyl]-ethanol;
 4-(3,4-dichloro-phenyl)-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;
 4-(3-chloro-4-methoxy-phenyl)-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;
 4-(4-chloro-3-fluoro-phenyl)-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;
 4-[4-(1H-pyrazol-4-yl)-phenyl]-1,2,3,4,5,6-hexahydro-[4,4']bipyridinyl;
 25 3-(3-chloro-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propylamine;
 2-methylamino-1-(4-nitro-phenyl)-1-[4-(1H-pyrazol-4-yl)-phenyl]-ethanol;
 2-(3-chloro-4-methoxy-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamine;
 2-(4-chloro-phenyl)-2-fluoro-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamine;
 3-(3,4-dichloro-phenyl)-3-[6-(1H-pyrazol-4-yl)-pyridin-3-yl]-propylamine;
 30 2-(4-chloro-3-fluoro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamine;

- 4-(2-chloro-3-fluoro-phenyl)-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;
 1-((3,4-dichloro-phenyl)-[4-(1H-pyrazol-4-yl)-phenyl]-methyl)-piperazine;
 2-(3,4-dichloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamine;
 {2-(3-chloro-4-methoxy-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-methyl-
 amine;
 4-{4-[2-azetidin-1-yl-1-(4-chloro-phenoxy)-ethyl]-phenyl}-1H-pyrazole;
 3-(3-chloro-4-methoxy-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propylamine;
 {3-(3-chloro-4-methoxy-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propyl}-methyl-
 amine;
 1-((3,4-dichloro-phenyl)-[4-(1H-pyrazol-4-yl)-phenyl]-methyl)-piperazine; or
 C-(4-chloro-phenyl)-C-[4-(1H-pyrazol-4-yl)-phenyl]-methylamine;
 and salts, solvates, tautomers and N-oxides thereof.
50. A compound according to claim 49 which is 2-amino-1-(4-chloro-phenyl)-1-[4-(1H-pyrazol-4-yl)-phenyl]-ethanol or a salt, solvate, tautomer or N-oxide thereof.
51. A compound according to any one of the preceding claims in the form of a salt, solvate, ester or N-oxide.
52. A compound as defined in any one of claims 1 to 51 for use in medicine.
53. A compound as defined in any one of claims 1 to 51 for use in (a) the prophylaxis or treatment of a disease state or condition mediated by protein kinase B; or (b) the prophylaxis or treatment of a disease state or condition mediated by protein kinase A.
54. A compound as defined in any one of claims 1 to 51 for use in the prophylaxis or treatment of a disease state or condition which is selected from a carcinoma of the bladder, breast, colon, kidney, epidermal, liver, lung, oesophagus, gall bladder, ovary, pancreas, stomach, cervix, endometrium, thyroid, prostate, or skin, a hematopoietic tumour of lymphoid lineage, a hematopoietic tumour of myeloid lineage, thyroid follicular cancer, a tumour of mesenchymal origin, a tumour of

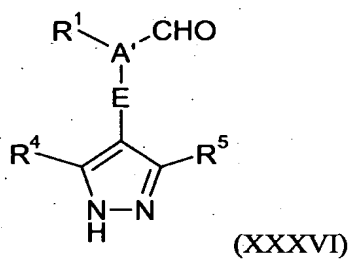
the central or peripheral nervous system, melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoctanthoma, thyroid follicular cancer, or Kaposi's sarcoma.

55. A compound as defined in any one of claims 1 to 51 for use in the prophylaxis or treatment of a disease state or condition which is selected from breast cancer, ovarian cancer, colon cancer, prostate cancer, oesophageal cancer, squamous cancer and non-small cell lung carcinomas.
56. The use of a compound as defined in any one of claims 1 to 51 for:
- (a) the manufacture of a medicament for the prophylaxis or treatment of a disease state or condition mediated by protein kinase B; or
 - (b) the manufacture of a medicament for the prophylaxis or treatment of a disease state or condition mediated by protein kinase A; or
 - (c) the manufacture of a medicament for the prophylaxis or treatment of a disease state or condition arising from abnormal cell growth;
 - (d) the manufacture of a medicament for the prophylaxis or treatment of a disease in which there is a disorder of proliferation, apoptosis or differentiation.
57. A pharmaceutical composition comprising a novel compound as defined in any one of claims 1 to 51 and a pharmaceutically acceptable carrier.
58. A process for the preparation of a compound of the formula (I) as defined in any one of claims 1 to 51, which process comprises:
- (a) the reaction of a compound of the formula (X) with a compound of the formula (XI) or an N-protected derivative thereof:



wherein A, E, and R¹ to R⁵ are as defined in any one of claims 1 to 51, one of the groups X and Y is selected from chlorine, bromine, iodine and trifluoromethanesulphonate, and the other one of the groups X and Y is a boronate ester or boronic acid residue, in the presence of a palladium catalyst and a base;

- (b) the reductive amination of a compound of the formula (XXXVI):



with HNR²R³ in the presence of a reducing agent; and optionally

- (c) the conversion of one compound of the formula (I) into another compound of the formula (I).

European Patent Application No. 04 806 258.2

Astex Therapeutics Limited *et al.*

Our Reference: 40657EP

Basis for amended claims in PCT application as published

Amended claim	Basis in PCT Appl. (PCT claims unless otherwise indicated)	Amended claim	Basis in PCT Appl.
1	Claim 1 + claim 28 (R ⁸) + Claim 42 (R ¹ substituents) + proviso from prior art	30	35
2	Claim 2 + claim 28 (R ⁸) + Claim 42 (R ¹ substituents) + proviso from prior art	31	35
3	3	32	35
4	4	33	36
5	4	34	37 (dependency corrected)
6	5	35	38 (dependency corrected)
7	6	36	39
8	7	37	41
9	8	38	40
10	9	39	43
11	10 & 11	40	44
12	12 & 13	41	46
13	14, 15 & 16	42	47
14	17	43	48
15	18	44	49
16	21	45	50
17	22 & 28	46	51
18	23 & 28	47	52

Amended claim	Basis in PCT Appl. (PCT claims unless otherwise indicated)	Amended claim	Basis in PCT Appl.
19	24 & 28	48	54
20	26	49	55
21	27 & 28	50	55
22	29	51	56
23	29	52	76
24	29	53	57 & 65
25	30	54	Page 92 lines 6 to 21 of description
26	31	55	Page 92 lines 25 to 27 of description
27	32	56	58, 66, 67 & 68
28	33	57	75 (dependency corrected)
29	34	58	77